

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	10/564829	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:33
L2	6793	546/221 or 546/192 or 514/89 or 514/317 or 514/326	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:35
L3	532	I2 and prostaglandin	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:36
L4	39	I3 and piperidone	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/09/13 15:39

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NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 MAY 01 New CAS web site launched
NEWS 3 MAY 08 CA/CAplus Indian patent publication number format defined
NEWS 4 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 6 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 7 MAY 21 CA/CAplus enhanced with additional kind codes for German patents
NEWS 8 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 9 JUN 27 CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 10 JUN 29 STN Viewer now available
NEWS 11 JUN 29 STN Express, Version 8.2, now available
NEWS 12 JUL 02 LEMBASE coverage updated
NEWS 13 JUL 02 LMEDLINE coverage updated
NEWS 14 JUL 02 SCISEARCH enhanced with complete author names
NEWS 15 JUL 02 CHEMCATS accession numbers revised
NEWS 16 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 17 JUL 16 CAplus enhanced with French and German abstracts
NEWS 18 JUL 18 CA/CAplus patent coverage enhanced
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 20 JUL 30 USGENE now available on STN
NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 22 AUG 06 BEILSTEIN updated with new compounds
NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 24 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 25 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 26 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 27 AUG 27 USPATOLD now available on STN
NEWS 28 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 29 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 30 SEP 13 FORIS renamed to SOFIS
NEWS 31 SEP 13 INPADOCDB: New SDI frequency MONTHLY available now

NEWS EXPRESS 05 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 SEPTEMBER 2007.

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FILE 'HOME' ENTERED AT 15:45:08 ON 13 SEP 2007

=> file registry
COST IN U.S. DOLLARS

| | SINCE FILE
ENTRY | TOTAL
SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 15:45:26 ON 13 SEP 2007
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STRUCTURE FILE UPDATES: 12 SEP 2007 HIGHEST RN 946827-72-1
DICTIONARY FILE UPDATES: 12 SEP 2007 HIGHEST RN 946827-72-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

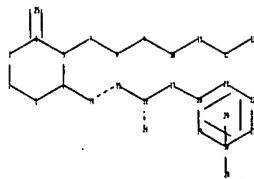
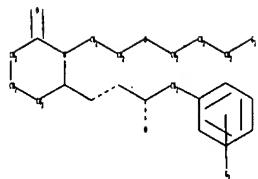
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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=> Uploading C:\Program Files\Stnexp\Queries\10-564829.gen.str



chain nodes :
7 8 9 10 11 12 13 14 15 16 17 25 26 28
ring nodes :
1 2 3 4 5 6 18 19 20 21 22 23
chain bonds :
4-25 5-7 6-14 7-8 8-9 9-10 10-11 11-12 12-13 14-15 15-16 16-17 16-26
17-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-25 5-6 12-13 14-15 16-26
exact bonds :
5-7 6-14 7-8 8-9 9-10 10-11 11-12 15-16 16-17 17-20
normalized bonds :
18-19 18-23 19-20 20-21 21-22 22-23

G1:C,S,P

G2:C,O,N

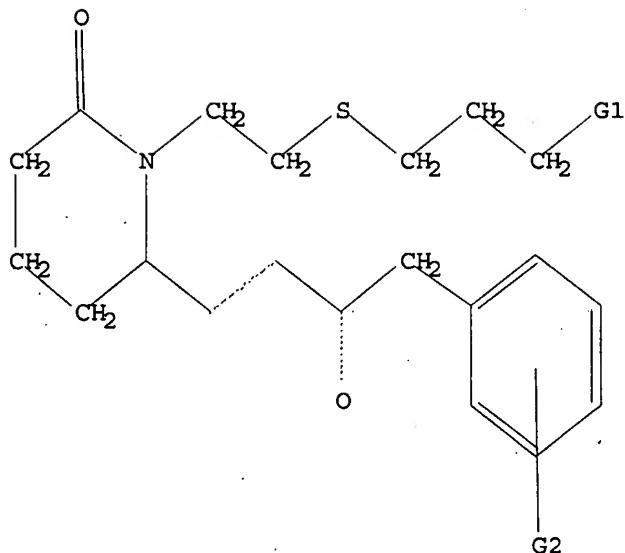
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 25:CLASS 26:CLASS 28:CLASS 29:Atom

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS

L1

STR



G1 C,S,P

G2 C,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 15:47:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 333 TO ITERATE

100.0% PROCESSED 333 ITERATIONS
SEARCH TIME: 00.00.01

1. ANSWERS

L2 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 173.45 | 173.66 |

FILE 'CAPLUS' ENTERED AT 15:47:49 ON 13 SEP 2007
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FILE COVERS 1907 - 13 Sep 2007 VOL 147 ISS 12
FILE LAST UPDATED: 12 Sep 2007 (20070912/ED)

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=> s l2

L3 2 L2

=> d l2 1-2 ibib abs hitstr

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d l3 1-2 ibib abs hitstr

ACCESSION NUMBER: 2005:370879 CAPLUS

DOCUMENT NUMBER: 143:59790

TITLE: Lactams as prostaglandin receptor ligands. Part 4: 2-Piperidones as selective EP4 receptor agonists

AUTHOR(S): Elsworth, Todd R.; Brill, Emma R.; Caires, Christopher

C.J. Kim, Woongki Lech, Leang K.; Tracy, Jahari

Laurent; Chiou, San-San

Roche Palo Alto, Department of Medicinal Chemistry, Palo Alto, CA, 94304-1397 USA

Bioorganic & Medicinal Chemistry Letters (2005), 15(10): 2523-2526

CODEN: BMCLB8; ISSN: 0960-894X

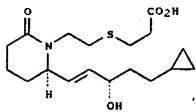
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:59790

GI



I

AB 2-Piperidones, e.g., I, were prepared bearing heptanoic acid or a thioether

heptanoic acid at the 1-position as well as appropriately substituted at the 6-position to mimic the structure of prostaglandins. The stereochemistry at the 6-position was determined to be 29% ee for an advanced synthetic intermediate. The 2-piperidones were identified as potent agonists at the EP4 prostaglandin receptor. They displayed a high affinity (K_1 5-130 nM) at EP4 and subtype selectivity.

IT 724705-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective preparation and EP4 receptor binding affinity of piperidones starting from amino adipic acid using resolution as the key step)

RN 724705-74-2 CAPLUS

CN Butanoic acid, 4-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-(methoxymethyl)phenyl)-1-butenyl]-6-oxo-1-piperidinyl]ethyl)thio]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

ACCESSION NUMBER: 2004:589253 CAPLUS

DOCUMENT NUMBER: 141:123513

TITLE: 2-piperidone derivatives as prostaglandin agonists

INVENTOR(S): Elsworth, Todd Richard

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

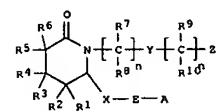
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

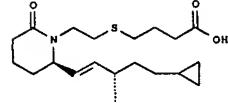
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| US 2004142969 | A1 | 20040722 | US 2004-754117 | 20040108 |
| AU 2004203905 | A1 | 20040729 | AU 2004-203905 | 20040102 |
| CA 2511255 | A1 | 20040729 | CA 2004-2511255 | 20040102 |
| WO 2004061158 | A1 | 20040729 | WO 2004-EP8 | 20040102 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ | | | | |
| EP 1585729 | A1 | 20051019 | EP 2004-700041 | 20040102 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2004006717 | A | 20051220 | BR 2004-6717 | 20040102 |
| CN 1735597 | A | 20060215 | CN 2004-80002071 | 20040102 |
| JP 2006515015 | T | 20060518 | JP 2005-518636 | 20040102 |
| MX 2005PA07341 | A | 20050930 | MX 2005-PA7341 | 20050706 |
| PRIORITY APPLN. INFO.: | | | US 2003-439152P | P 20030110 |
| | | | WO 2004-EP8 | W 20040102 |

OTHER SOURCE(S): MARPAT 141:123513

GI

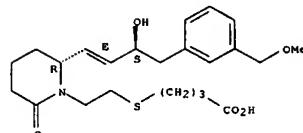


I



II

AB 2-Piperidone derivs. I (n = 0-4; A = alkyl, aryl, heteroaryl, arylalkyl,



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

FORMAT

CH₂:CH, arylene, heteroarylene, O, SiOl_p (p = 0-2), NRA (Ra = H, alkyl); E = CHO_H, or C(O); Y = CH₂,

CH2OH, CHO, tetrazole-5-yl, COOr (Rb = H, alkyl); R1, R2, R3, R4, R5, R6, R7, R8, R9, R10 = H, alkyl) and pharmaceutically acceptable salts, solvates, prodrugs, single isomers or racemic or non-racemic mixt. of isomers thereof were prep'd. as selective prostaglandin EP4 agonists for the treatment of assoc'd. diseases. Thus, 6R-(1-ethoxyethoxymethyl)piperidin-2-one was treated with NaH, and 2-bromoethanol triisopropylsilyl ether,, followed by pyridinium p-toluene sulfonic acid

to give the alc. The alc. was oxidized to the aldehyde using Swern conditions, and treatment of the aldehyde with (4-cyclopropyl-2-oxobutyl)phosphonic acid di-Me ester gave the alkene. Redn. of the ketone

using (R)-2-methyl-CBS-oxazaborolidine followed by deprotection of the silyl ether gave the primary alc. Treatment of the alc. with γ-thiobutyrlactone gave the Me ester which was treated with NaOH to give the desired II. The invention also provides methods for prepg., compns., comprising, and methods for using compds. of formula I.

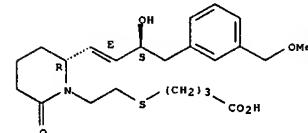
IT 724705-74-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-piperidone derivs. as selective prostaglandin EP4 agonists for the treatment of associated diseases)

RN 724705-74-2 CAPLUS
CN Butanoic acid, 4-[(2-[(2R)-2-[(1E,3S)-3-hydroxy-4-(3-(methoxymethyl)phenyl)-1-butene]-6-oxo-1-piperidinyl]ethyl)thio]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



=> log hold
COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 13.36 | 187.02 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| -1.56 | -1.56 |

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